

Organometallic photosensitizers for photodynamic therapy

The University of Neuchâtel, Switzerland, proposes the following technology for licensing

Keywords

- Photodynamic therapy
- Organometallic photosensitizers
- Arene ruthenium
- Porphyrin
- Cancer therapy
- Inflammatory diseases / immune disorders

Patent status

"Organometallic Compounds"

- Priority filing EP 1 950 217 A1 (26 Jan. 2007)
- WO 2008/090526 A1

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Description of the Invention

❑ Background

Photodynamic therapy (PDT) uses a photosensitizer that, when exposed to light, induces production of cytotoxic molecules. For cancer applications, PDT allows to target tumor cells by focused light exposure, thus reducing potential side effects, without inducing tumor resistance.

❑ Technology

A family of PDT compounds that comprise a porphyrin ring coordinated to arene-ruthenium units, offering an easy functionalization to customize various derivatives with defined properties.

❑ Development status

- o Established method of synthesis (fast, low-cost, high yield)
- o Demonstrated high cytotoxicity in tumor cells at $< 5 \mu\text{M}$ ($\text{LD}_{50} < 2.5 \text{ J/cm}^2$, 652 nm light source)
- o Demonstrated high cellular uptake and cytoplasmic accumulation into melanoma cells
- o Demonstrated low cytotoxicity to non cancer cells
- o In vivo preclinical experiments (anti-tumor activity and toxicity) ongoing

❑ Main advantages / innovative features

- ✓ High efficacy by combination of the cytotoxic effects of ruthenium and porphyrin
- ✓ No induction of tumor resistance mechanisms through direct cell death
- ✓ Increased solubility for easier injection and better biodistribution
- ✓ Fewer side effects of ruthenium as compared to platinum compounds
- ✓ Inexpensive compounds, reproducibility and low-cost of synthesis
- ✓ Expandable family of compounds, can be used to treat a wide variety of diseases

❑ Potential applications

- Diagnosis and treatment of cancer /pre-cancerous lesions
- Diagnosis and treatment of infectious diseases
- Diagnosis and treatment of inflammation and immune disorders

❑ References

- Schmitt F et al. Combined arene ruthenium porphyrins as chemotherapeutics and photosensitizers for cancer therapy. *J Biol Inorg Chem* 2009 Jan;14(1):101-9.
- Schmitt F et al. Ruthenium porphyrin compounds for photodynamic therapy of cancer. *J Med Chem* 2008 Mar 27;51(6):1811-6.

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